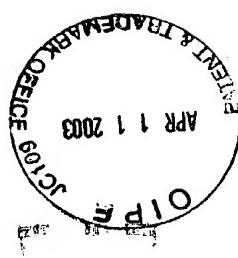


### Structure-based sequence homology alignment of bromodomains

		720	$\alpha_7$	740	760	$\alpha_8$	780	$\alpha_9$	800	$\alpha_{10}$	820	$\alpha_{11}$
hsp/CAP	719 - SKEPRDQYIOLSTKLSIQVQSHQ	...SAWPPME...PYZTRIE...AFGYEVIRERP...	MILKTHSERLKNR...	YVPSKMLPFLADQLQVPTTCEHAP...	SFTYFCANLLEKFFSKIKEAG	-828						
hsGCNS	363 - GKELKDPIOLYTTKLNJLAQKSHP	...SAMPFNE...PKVSE...ARPYEVIFRP...	MILKTHSERLKNR...	YVTRKQFLADQLQVPLAQNTEHAP...	SPYRCASALPFPYPLAKRG	-472						
tLP55	260 - LAKSEREPNLOCANJENMRHQ	...S...WPIJD...PVNRD...	YVTRKQFLADQLQVPLAQNTEHAP...	SPYRCASALPFPYPLAKRG	-472							
SGCNS	324 - AORPRGRHDAQNTLTELONIA	...ANPFLQ...PVNKEE...VPDYDFTKEP...	MILSTKINR...	YVTRKQFLADQLQVPLAQNTEHAP...	SPYRCASALPFPYPLAKRG	-472						
hsp300	1046 - KKLFK...PELQKQALMPTLELYRQ	...PELQKQ...PVDQOLQGIPDQYDVKSP...	MILSTKINR...	YVTRKQFLADQLQVPLAQNTEHAP...	SPYRCASALPFPYPLAKRG	-472						
hspCBP	1032 - KATFK...PELQKQALMPTLELYRQ	...PESLQKQ...PVDQOLQGIPDQYDVKSP...	MILSTKINR...	YVTRKQFLADQLQVPLAQNTEHAP...	SPYRCASALPFPYPLAKRG	-472						
nmfCBP	1033 - KATFK...PELQKQALMPTLELYRQ	...PESLQKQ...PVDQOLQGIPDQYDVKSP...	MILSTKINR...	YVTRKQFLADQLQVPLAQNTEHAP...	SPYRCASALPFPYPLAKRG	-472						
cSYN11	B611 - DTVFS...QDLTKPFLPMEGLDSE	...DRAFPK...PDAKALNIPDHEIKEP...	MILSTKINR...	YVTRKQFLADQLQVPLAQNTEHAP...	SPYRCASALPFPYPLAKRG	-472						
hsCCG1-1	1376 - RURIDPMTLSSILESTINMRDL	...PNTPYPHT...PVNAVK...	MICLTMRNVRK...	LYPSPRFERFLTEUTERATNG...	KISLTOISOSLUDCLERKRE	-1157						
nsrCCG1-1	1371 - RURIDPMTLSSILESTINMRDL	...PNTPYPHT...PVNAVK...	MICLTMRNVRK...	LYPSPRFERFLTEUTERATNG...	KISLTOISOSLUDCLERKRE	-1157						
hsCCG1-2	1498 - LIDDDDQKAESPILLNTVDRKAV	...PWSKPH...PVNKKF...	MICLTMRNVRK...	LYPSPRFERFLTEUTERATNG...	KISLTOISOSLUDCLERKRE	-1157						
msCCG1-2	1493 - LIDDDDQKAESPILLNTVDRKAV	...PWSKPH...PVNKKF...	MICLTMRNVRK...	LYPSPRFERFLTEUTERATNG...	KISLTOISOSLUDCLERKRE	-1157						
hsRing3-1	24 - KIGRAT...MOLQJAHNNMKAHKKH	...PAMPPFQ...PVDVAKLNUIPDVKIKP...	MICLTMRNVRK...	LYPSPRFERFLTEUTERATNG...	KISLTOISOSLUDCLERKRE	-1157						
hsORF-X-1	31 - RGRKT...NQLOLJKTMMVTKHQ	...PAMPPFQ...PVDVAKLNUIPDVKIKP...	MICLTMRNVRK...	LYPSPRFERFLTEUTERATNG...	KISLTOISOSLUDCLERKRE	-1157						
sdnSF1-1	41 - NEPTVDPJAVCHELJNTYRDKP	...PAMPPFQ...PVDVAKLNUIPDVKIKP...	MICLTMRNVRK...	LYPSPRFERFLTEUTERATNG...	KISLTOISOSLUDCLERKRE	-1157						
sdnSF1-1	148 - NPIPKHOQKHALLAKAVKSH	...DARFLQ...PUDVFLDIPFVNTRIKP...	MICLTMRNVRK...	LYPSPRFERFLTEUTERATNG...	KISLTOISOSLUDCLERKRE	-1157						
hsRing3-2	295 - KKKGKLS...HLKHCNGILKELLSKHH	...AAWYKPPK...PVDASGLDUDYHDOTIKP...	MICLTMRNVRK...	LYPSPRFERFLTEUTERATNG...	KISLTOISOSLUDCLERKRE	-1157						
hsORF-X-2	304 - KKKGKLS...HLKHCNGILKELLSKHH	...AAWYKPPK...PVDASGLDUDYHDOTIKP...	MICLTMRNVRK...	LYPSPRFERFLTEUTERATNG...	KISLTOISOSLUDCLERKRE	-1157						
dnSFH-2	473 - NPKKL...LALASCNCIKEFSEHFR	...SCWYKPPK...PVDASGLDUDYHDOTIKP...	MICLTMRNVRK...	LYPSPRFERFLTEUTERATNG...	KISLTOISOSLUDCLERKRE	-1157						
scdSF1-2	311 - KSKRLQ...QAMFPCSVKELMATH	...ASYNYPK...PVDVSPMNLIPDVKIKP...	MICLTMRNVRK...	LYPSPRFERFLTEUTERATNG...	KISLTOISOSLUDCLERKRE	-1157						
hsB14-10	626 - MEMO1P...TLLRKTBLRQKQG	...NIPSE...PUPSE...	PYDYLHIIKPK...	MAPPYKQ...	MAPPYKQ...	-233						
hsSMN1	594 - SELQFATGQIQWKAKMNMWRAM	...INRYAVNFLQ...PVTDI...	ARGHSTVQPK...	MHLSTKINR...	MHLSTKINR...	-206						
99PBL-1	41 - NEPTVDPJAVCHELJNTYRDKP	...GRILCEIPLTRAKRN...	GRILCEIPLTRAKRN...	GRILCEIPLTRAKRN...	GRILCEIPLTRAKRN...	-206						
99PBL-2	174 - SFGYTL...MISLEQOLLEAVATM	...PSCPRL...SEOPKPSVHO...	YPDYVATIKP...	YPDYVATIKP...	YPDYVATIKP...	-206						
crpH-1	374 - TSEMFDTKPLIYOLYDUTRSERNPS	...QISETPFIPLPSKK...	QISETPFIPLPSKK...	QISETPFIPLPSKK...	QISETPFIPLPSKK...	-206						
crpH-1	4					-206						
crpH-1	647 - KYSKHMTRIYQKLNEMYEAFTNTD	...QHLCQHJMPWPKSK...	QHLCQHJMPWPKSK...	QHLCQHJMPWPKSK...	QHLCQHJMPWPKSK...	-186						
crpH-1	5					-186						
SPBRO-1	5 - UNAPEPDTKPFENELAWELMADNE	...CNPFDIPEELPSK...	...CNPFDIPEELPSK...	...CNPFDIPEELPSK...	...CNPFDIPEELPSK...	-162						
SPBRO-2	118 - CTNEIDVTKVQNLDAHEDEQ	...GFLD1...F1DLP5KRL...	...YDPEYELIKP...	...YDPEYELIKP...	...YDPEYELIKP...	-117						
SSNP2a	1377 - SPNPPLKTKRNAIDTVYKQDSS	...GROLSEVPIOLPSRK F...	...LPEYELIKP...	...LPEYELIKP...	...LPEYELIKP...	-1489						
hrBRC	1416 - STNPPLKTKRNAIDTVYKQDSS	...GROLSEVPIOLPSRK E...	...LPEYELIKP...	...LPEYELIKP...	...LPEYELIKP...	-1489						
99BPK	1373 - SPNPPLKTKRNAIDTVYKQDSS	...GROLSEVPIOLPSRK F...	...LPEYELIKP...	...LPEYELIKP...	...LPEYELIKP...	-1531						
qBRC-1	1436 - SPNPPLKTKRNAIDTVYKQDSS	...GROLSEVPIOLPSRK E...	...LPEYELIKP...	...LPEYELIKP...	...LPEYELIKP...	-1485						
HS1F1b	694 - AKLSPANQKRCVYLLAQPCH	...PCHP10L...ATDSTF...	SLQ...	PSQH...	PSQH...	-198						
mcTF1b	694 - AKLSPANQKRCVYLLAQPCH	...MSIAFOD...PVH1...	...PERPHOL...	...PERPHOL...	...PERPHOL...	-798						
mcTF1a	695 - PTKTPIOD...KRCVYLLAQPCH	...MSIAFOD...PVH1...	...QERLSPYSSP...	...QERLSPYSSP...	...QERLSPYSSP...	-972						

Figure 1





2459-1-003 CIP

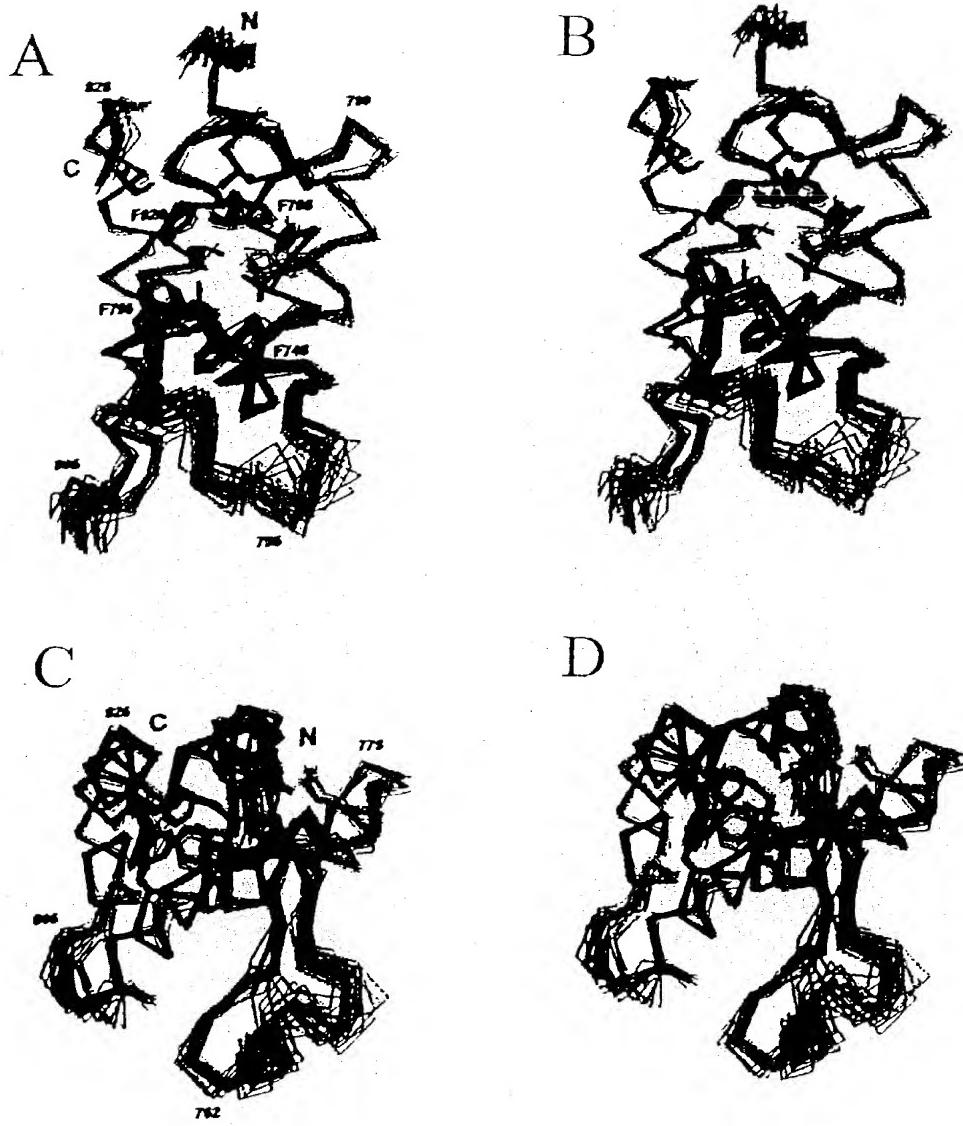


Figure 2A-2D



2459-1-003 CIP

## Three-Dimensional Structure of the P/CAF Bromodomain

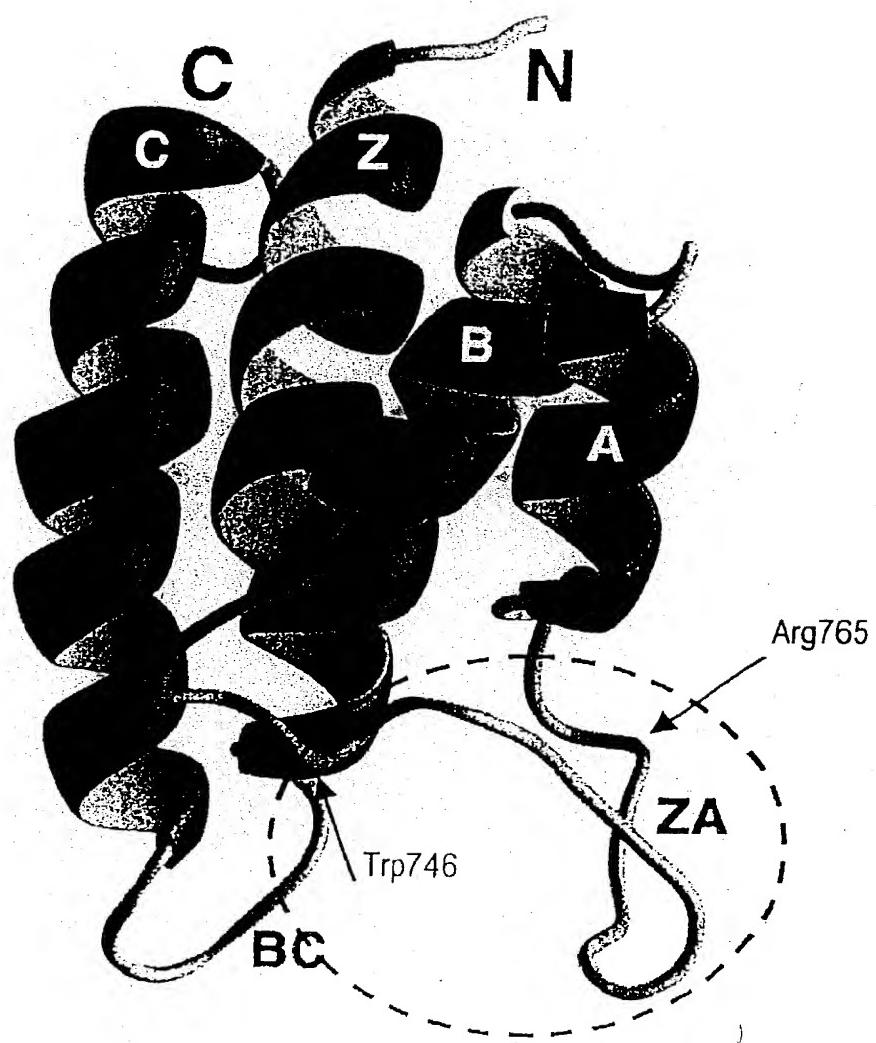
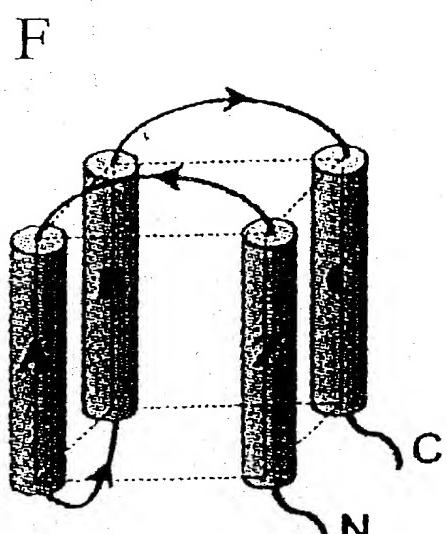


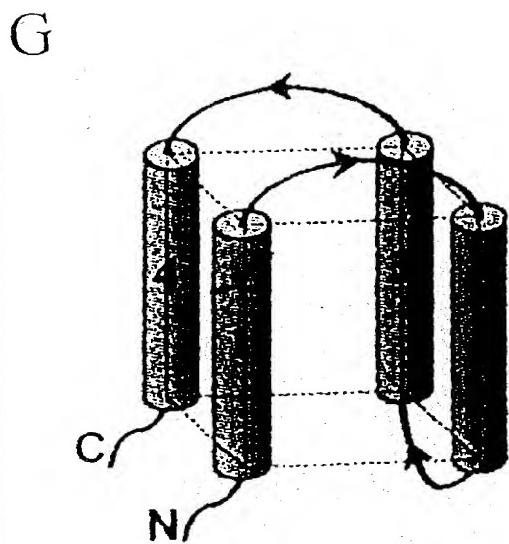
Figure 2E

OIP ~ JC103  
APR 11 2003  
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2459-1-003 CIP



Left-handed bundle



Right-handed bundle

Figure 2F-2G



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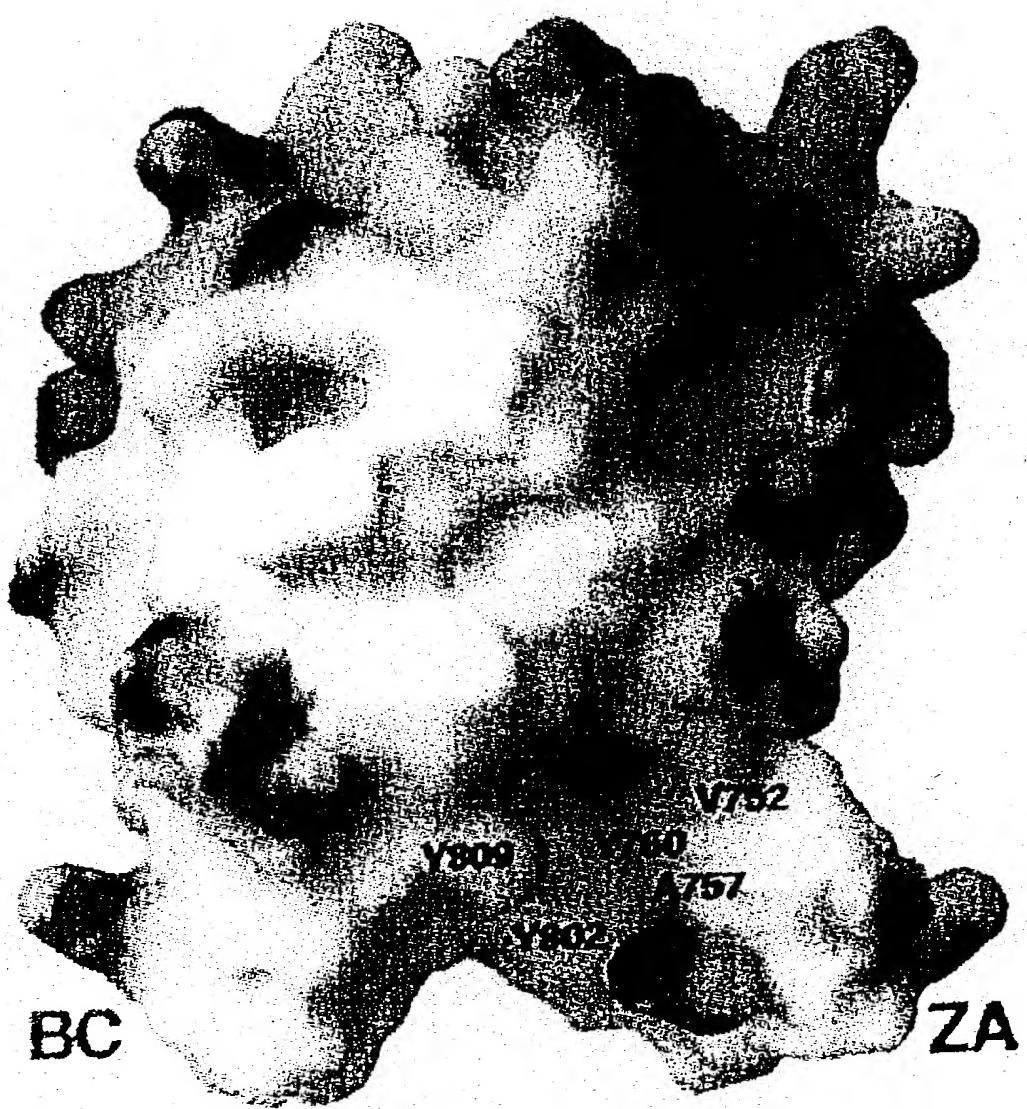


Figure 2H

O I P F SC103  
APR 11 2003  
STENT & TRADEMARK

2459-1-003 CIP

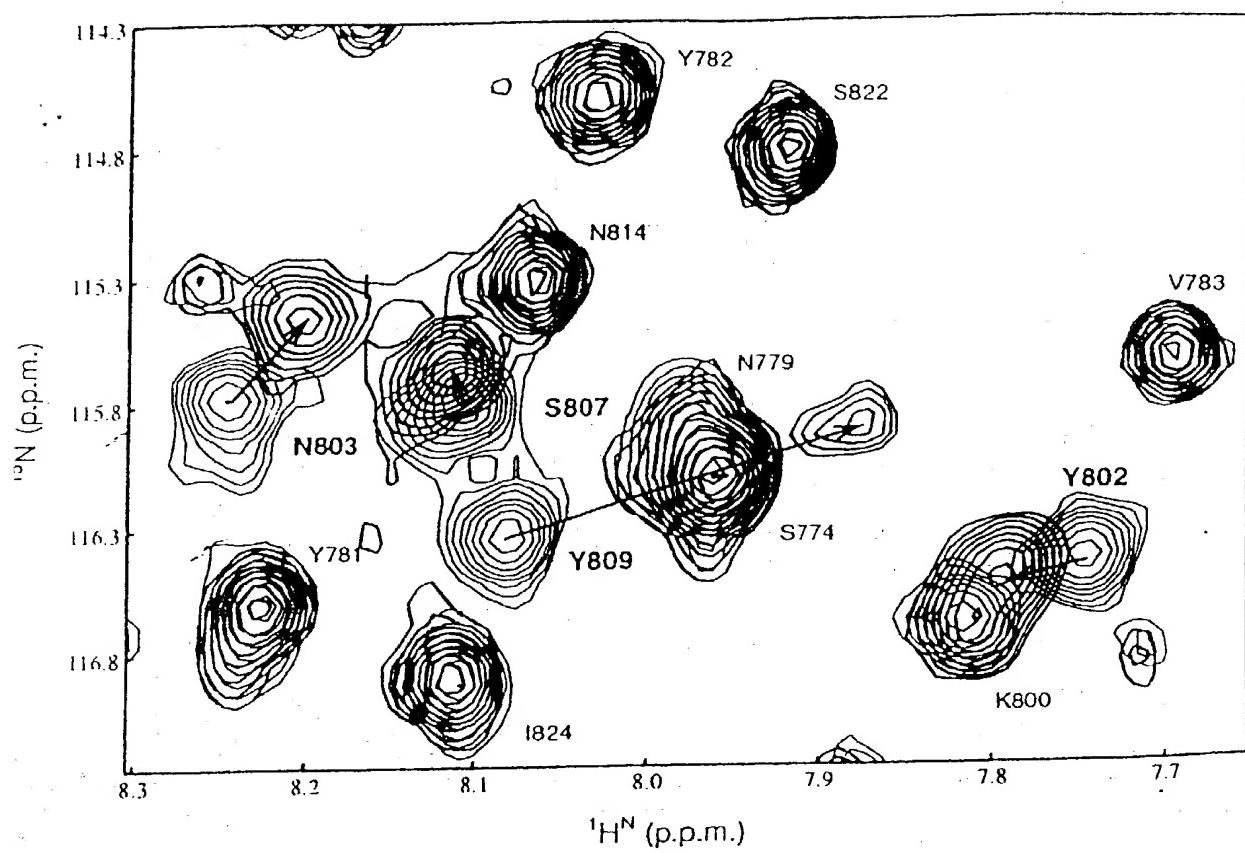


Figure 3A



2459-1-003 CIP

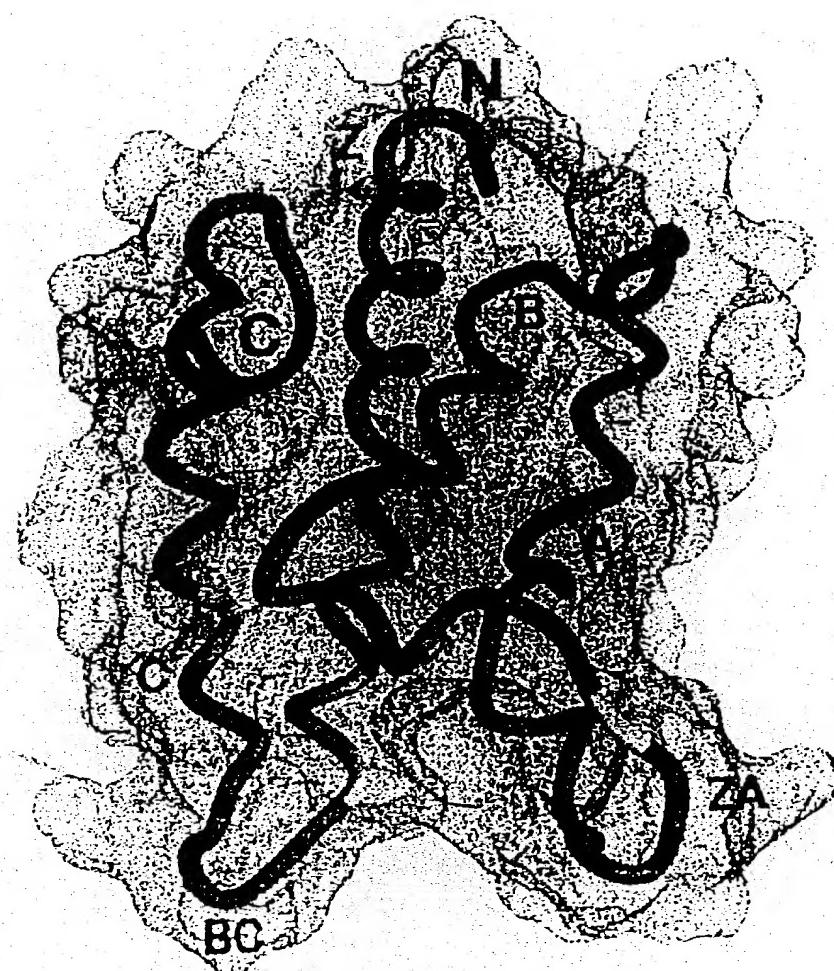
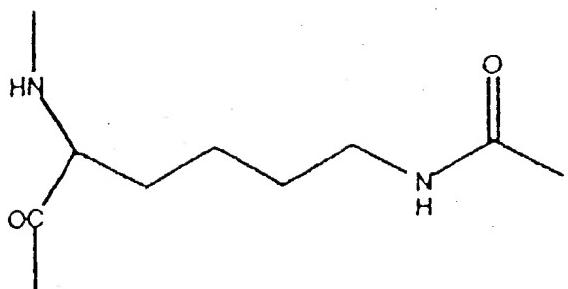


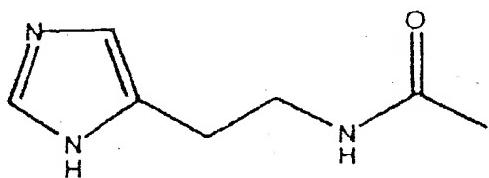
Figure 3B

OIP F  
JCTOS  
APR 11 2003  
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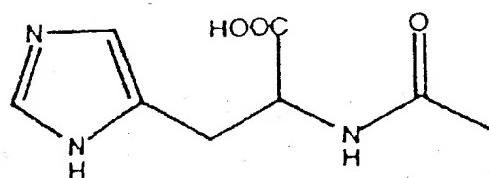
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*N* $\varepsilon$ -acetyl-lysine



*N* $\omega$ -acetyl-histamine



*N* $\alpha$ -acetyl-histidine

Figure 3C

O I P E SC109  
APR 11 2003  
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2459-1-003 CIP

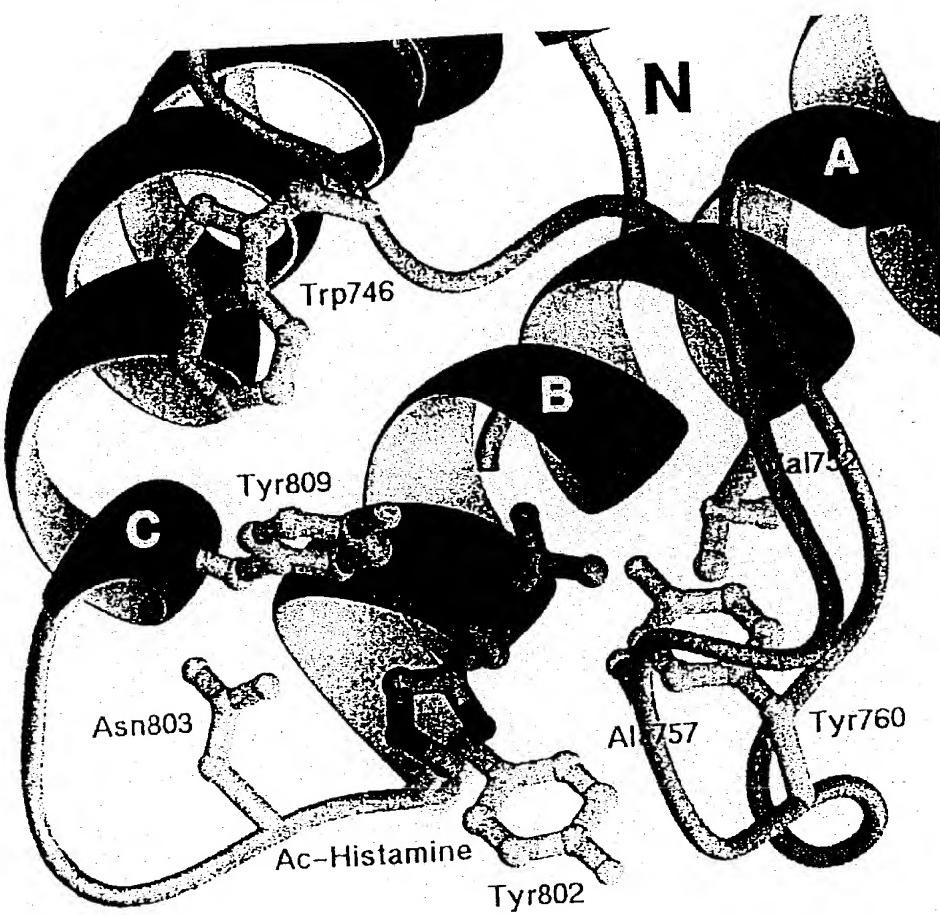


Figure 4

U/I/P/E  
APR 11 2003  
SCL & DEMAND OFFICE

2459-1-003 CIP

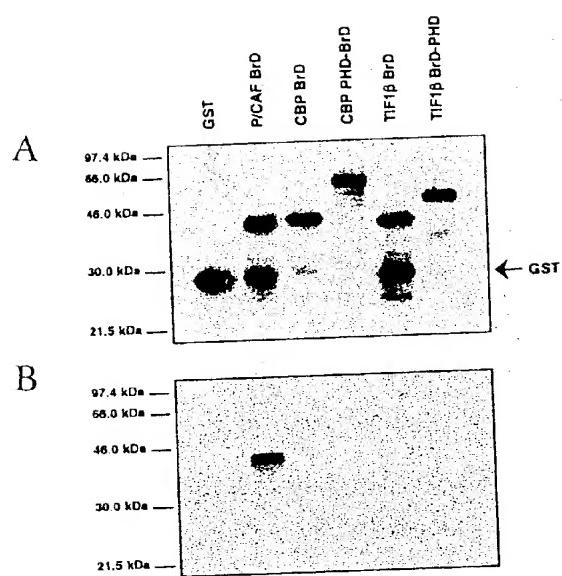


Figure 5

O I P F JC103  
APR 11 2003  
PATENT & TRADEMARK OFFICE

2459-1-003 CIP

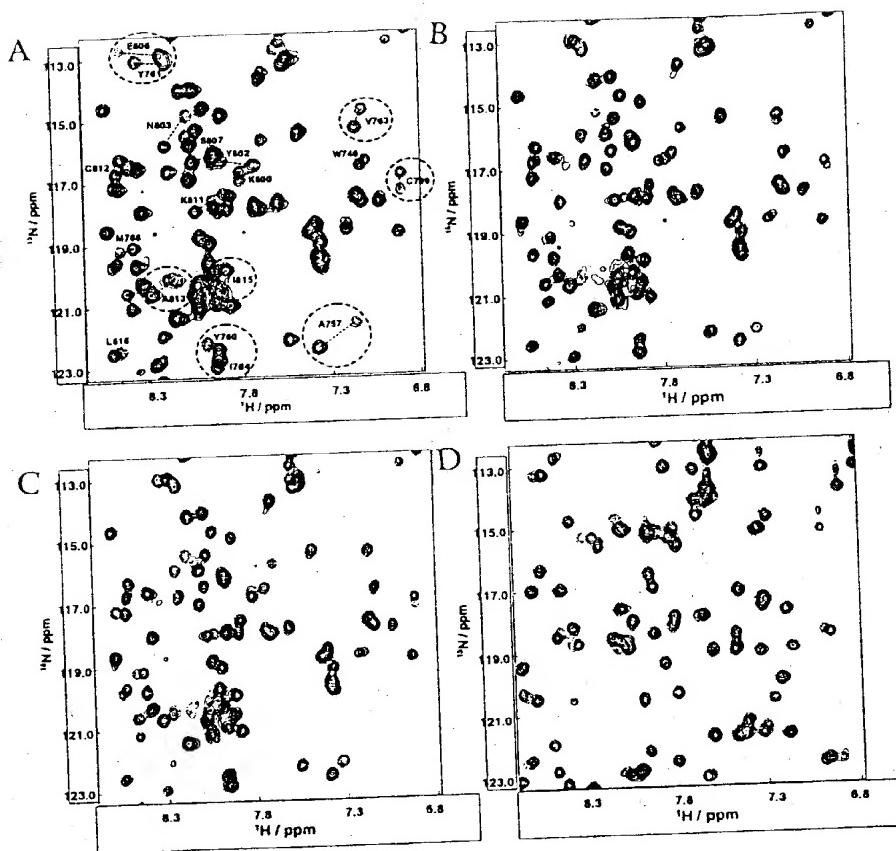


Figure 6



2459-1-003 CIP

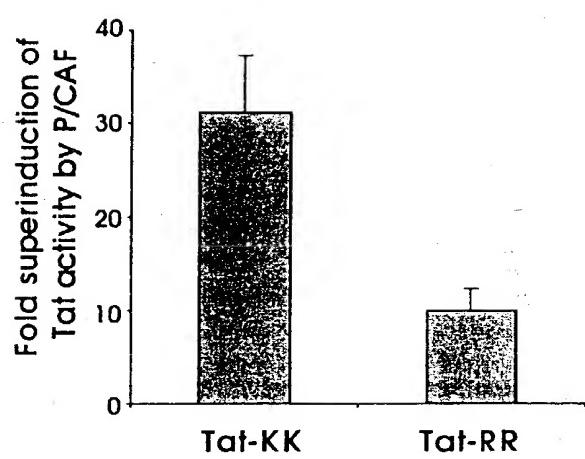


Figure 7



2459-1-003 CIP

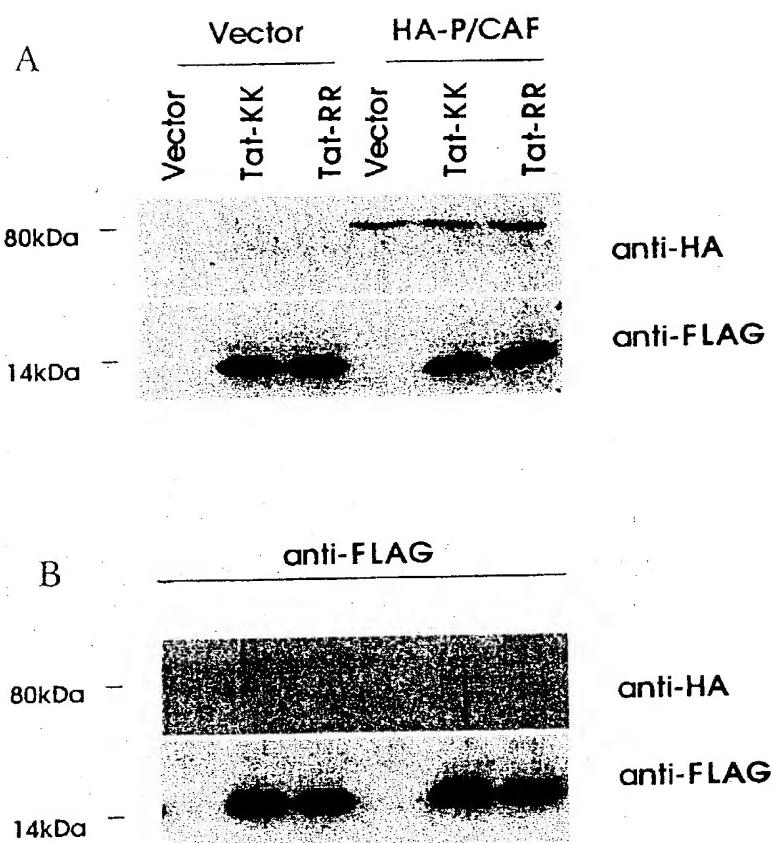
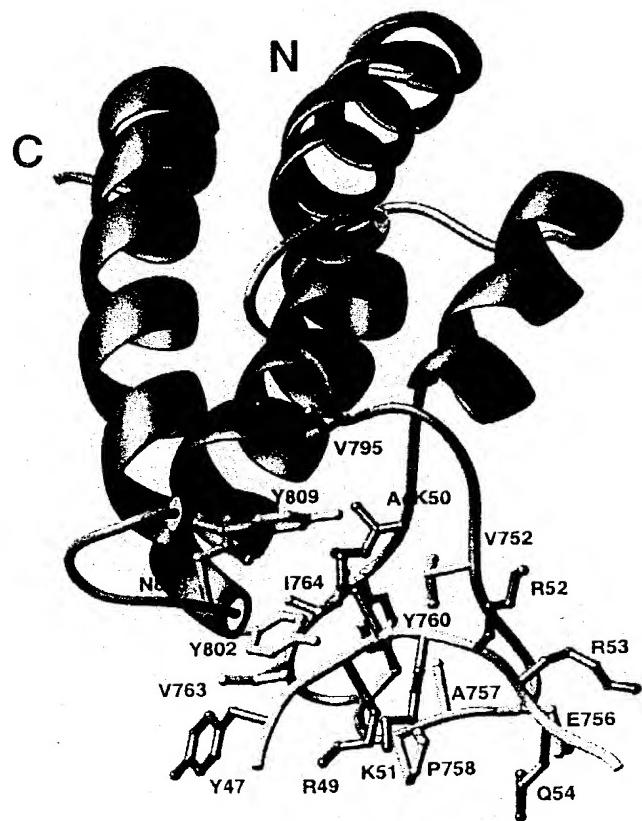


Figure 8

2459-1-003 CIP



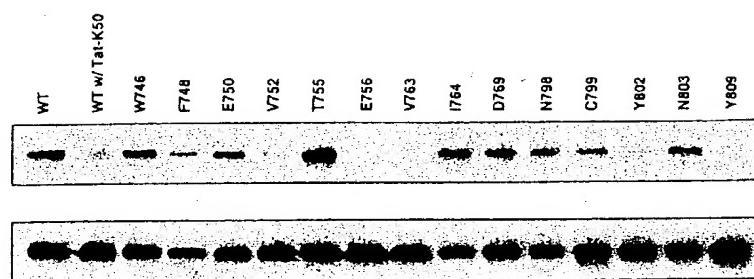
HIV-1 Tat Peptide: SYGR-AcK-KRRQRC

Figure 9



2459-1-003 CIP

A



B

- |                          |                         |
|--------------------------|-------------------------|
| 1. Control               |                         |
| 2. Tat_K50 (aa 46-55)    | SYGR-K-KRRQRC           |
| 3. Tat_AcK50             | SYGR-AcK-KRRQRC         |
| 4. Tat_AcK50_Y47A        | SAGR-AcK-KRRQRC         |
| 5. Tat_AcK50_R49A        | SYGA-AcK-KRRQRC         |
| 6. Tat_AcK50_K51A        | SYGR-AcK-ARRQRC         |
| 7. Tat_AcK50_R52A        | SYGR-AcK-KARQRC         |
| 8. Tat_AcK50_R53A        | SYGR-AcK-KRAQRC         |
| 9. Tat_AcK50_Q54A        | SYGR-AcK-KRRARC         |
| 10. Tat_AcK51            | SYGRK-AcK-RRQRC         |
| 11. Tat_AcK28 (aa 23-33) | TNCYCK-AcK-CCFH         |
| 12. Histone H4_AcK16     | SGRGKGKGLGKGGA-AcK-RHRK |

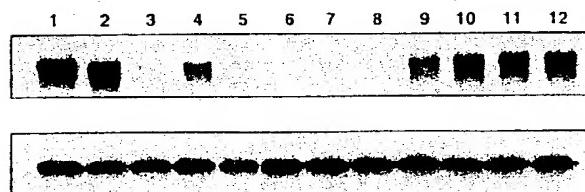


Figure 10

OIPF  
APR 11 2003  
ENT & TRADEMARK

2459-1-003 CIP

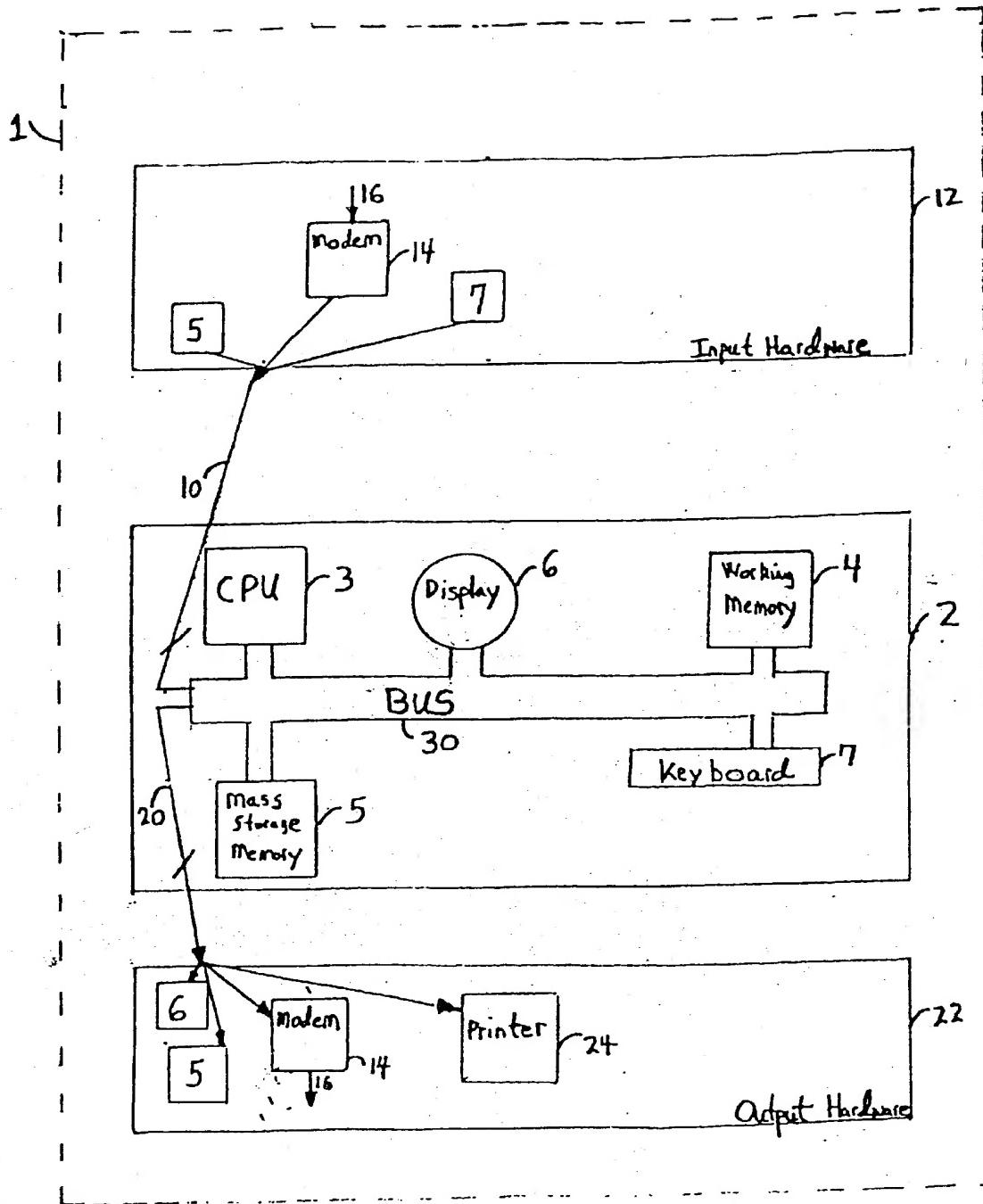
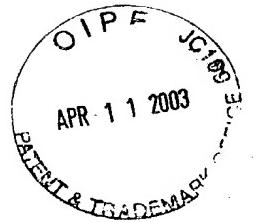
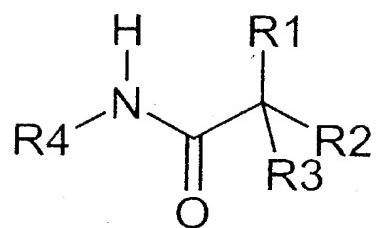


Figure 11



2459-1-003 CIP



Where:

R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> = H, CH<sub>3</sub>, X (halogen: F, Cl, Br, I), OH, SH, or NH<sub>3</sub><sup>+</sup>

R<sub>4</sub> = alkyl, aryl

Figure 12